Claims:

#### 1. A compound of the formula:

wherein:

one of X and X' is hydrogen, halogen, or lower alkyl, and the other is a group of the formula:

wherein Het is a 5- or 6-membered heteroaromatic ring containing 1, 2 or 3

heteroatoms selected from N,O, and S;

or

Het is a 9- or 10-membered bicyclic heteroaromatic ring containing 1, 2, 3 or 4

heteroatoms selected from O, S, and N, a is 0 or 1,

R<sub>1</sub> is hydrogen or lower alkyl,

 $R_{15}$  is hydrogen, halogen, nitro, lower alkyl sulfonyl, cyano, lower alkyl, lower alkoxy, lower alkoxycarbonyl, carboxy, lower alkyl aminosulfonyl, perfluorolower alkyl, lower alkylthio, hydroxy lower alkyl, alkoxy lower alkyl, alkylthio lower alkyl, alkylsulfinyl lower alkyl, alkylsulfinyl lower alkyl, aryloxy or a group of the formula  $R_{17}$ -C $\equiv$ C-,

and R<sub>16</sub> is hydrogen, halogen, nitro, cyano, lower alkyl, OH, perfluorolower alkyl, or lower alkylthio,and

R<sub>30</sub> is hydrogen or lower alkyl, or is absent; and

#### Y is a group of the formula:

wherein:

R<sub>22</sub> and R<sub>23</sub> are independently aryl, heteroaryl or lower alkyl which is unsubstituted or substituted by one or more chloro, bromo, nitro, hydroxy, lower alkoxy, aryl, lower alkanoyl, aroyl or cyano,

 $R_{24}$  is aryl, cyano, alkylsulfonyl or lower alkyl or alkenyl unsubstituted or substituted by an aryl or heteroaryl ring, and when  $R_{22}$  is aryl and  $R_{23}$  is aryl or lower alkyl, hydrogen, and the total number of carbon atoms in  $R_{22}$ ,  $R_{23}$  and  $R_{24}$  is from 6 to 14; or

#### Y is a 3-7 membered ring of the formula:

wherein:

 $R_{25}$  is lower alkyl, unsubstituted or fluorine substituted lower alkenyl, or a group of formula  $R_{26}$ —(CH<sub>2</sub>)<sub>e</sub>—

 $R_{26}$  is aryl, heteroaryl, azido, cyano, hydroxy, lower alkoxy, lower alkoxycarbonyl, lower alkanoyl, lower alkylthio, lower alkyl sulfonyl, lower alkyl sulfinyl, perfluoro lower alkanoyl, nitro, or  $R_{26}$  is a group of formula -NR<sub>28</sub>R<sub>29</sub>, wherein:

R<sub>28</sub> is hydrogen or lower alkyl,

R<sub>29</sub> is hydrogen, lower alkyl, lower alkoxycarbonyl, lower alkoxycarbonylaminocarbonyl, lower alkanoyl, aroyl, heteroaroyl, perfluoro lower alkanoyl, lower alkyl sulfonyl, lower alkylaminocarbonyl, arylaminocarbonyl, heterocycloalkyl carbonyl, lower alkylaminothiocarbonyl, or

R<sub>28</sub> and R<sub>29</sub> taken together with the nitrogen atom to which they are attached form a 4, 5 or 6-membered saturated heterocyclic ring containing one or two heteroatoms with the second heteroatom being O, S, or N-R<sub>27</sub>;

Q is  $-(CH_2)_f O_7$ ,  $-(CH_2)_f S_7$ ,  $-(CH_2)_{f_7}$ , or when f=0, a bond,

 $R_{27}$  is hydrogen, lower alkyl, aryl, lower alkanoyl, aroyl, or lower alkoxycarbony; the carbon atoms in said ring are unsubstituted or substituted by lower alkyl or halogen, e is an integer from 0 to 4, and f is an integer from 0 to 3; and

Z is hydrogen or lower alkyl;

and the pharmaceutically acceptable salts and esters thereof.

- 2. The compound of claim 1 wherein X' is hydrogen.
- 3. The compound of claim 2 having the formula:

wherein Q' is unsubstituted or lower alkyl substituted -(CH<sub>2</sub>)<sub>f</sub>-, f is 1, 2 or 3, and X and R<sub>25</sub> are as in claim 1

4. The compound of claim 3 wherein f is 2 whereby said compound is of the formula:

wherein  $R_{m1}$ ,  $R_{m2}$  and  $R_{m3}$  are independently hydrogen or lower alkyl, and  $R_{25}$  is lower alkyl, lower alkenyl which is unsubstituted or substituted by fluorine, or a group of the formula  $R_{26}$ —(CH<sub>2</sub>)<sub>e</sub>— wherein  $R_{26}$  and e are as in claim 1.

5. The compound of claim 4 wherein said compound is of the formula:

wherein  $R_{m1},\,R_{m2}$  and  $R_{m3}$  and  $R_{25}$  are as in claim 4.

6. The compound of claim 4 wherein X is a group of the formula:

$$N = \mathbb{R}_1$$
 $(CH_2)_a$ 

7. The compound of claim 6 wherein a is 0.

8. The compound of claim 4 wherein said compound is of the formula:

wherein  $R_{m1},\,R_{m2}$  and  $R_{m3}$  and  $R_{25}$  are as in claim 4.

9. The compound of claim 8 wherein said compound is of the formula:

10. The compound of claim 9 wherein X is a group of the formula:

- 11. The compound of claim 10 wherein a is 0.
- 12. The compound of claim 11 having the formula:

- 13. The compound of claim 8 wherein  $R_{m1}$ ,  $R_{m2}$  and  $R_{m3}$  are all hydrogen, and  $R_{25}$  is lower alkyl or lower alkenyl which is unsubstituted or substituted by fluorine.
- 14. The compound of claim 4 having the formula:

wherein X, R<sub>26</sub> and e are as in claim 1.

15. The compound of claim 14 wherein e is 0 whereby said compound is of the formula:

- 16. The compound of claim 15 wherein R<sub>26</sub> is cyano or aryl.
- 17. The compound of claim 16 wherein R<sub>26</sub> is cyano or phenyl which is unsubstituted or monosubstituted by halogen, lower alkyl or lower alkoxy.
- 18. The compound of claim 17 wherein said compound is of the formula:

19. The compound of claim 18 wherein X is a group of the formula:

wherein  $R_1$  and a are as in claim 1.

- 20. The compound of claim 19 wherein a is 0.
- 21. The compound of claim 20 having the formula:

- 22. The compound of claim 17 wherein R<sub>26</sub> is phenyl which is unsubstituted or monosubstituted by halogen, lower alkyl or lower alkoxy.
- 23. The compound of claim 22 wherein X is a group of the formula:

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24. The compound of claim 23 wherein a is 0.

# 25. The compound of claim 24 having the formula:

# 26. The compound of claim 24 having the formula:

## 27. The compound of claim 24 having the formula:

28. The compound of claim 24 having the formula:

29. The compound of claim 24 having the formula:

30. The compound of claim 22 wherein X is a group of the formula:

wherein  $R_1$  and a are as in claim 1.

31. The compound of claim 30 wherein a is 0.

32. The compound of claim 31 having the formula:

33. The compound of claim 14 wherein e is 1 whereby said compound is of the formula:

- 34. The compound of claim 33 wherein R<sub>26</sub> is lower alkoxy or aryl.
- 35. The compound of claim 34 wherein R<sub>26</sub> is methoxy, or is phenyl which is unsubstituted, mono-substituted by halogen, lower alkoxy, cyano or tetrazolyl which tetrazolyl is unsubstituted or monosubstituted by methyl, or disubstituted by lower alkoxy.
- 36. The compound of claim 35 wherein  $R_{26}$  is methoxy.
- 37. The compound of claim 35 wherein R<sub>26</sub> is phenyl which is mono- or di-substituted by lower alkoxy.
- 38. The compound of claim 37 wherein  $R_{26}$  is phenyl which is mono-substituted by lower alkoxy.

39. The compound of claim 38 wherein  $R_{26}$  is a group of the formula:

40. The compound of claim 39 wherein X is a group of the formula:

- 41. The compound of claim 40 wherein a is 0.
- 42. The compound of claim 41 having the formula:

- 43. The compound of claim 35 wherein  $R_{26}$  is unsubstituted phenyl.
- 44. The compound of claim 43 wherein X is a group of the formula:

$$N$$
 $R_1$ 
 $CH_2)_a$ 

45. The compound of claim 44 wherein a is 0.

46. The compound of claim 45 having the formula:

- 47. The compound of claim 37 wherein  $R_{26}$  is phenyl which is di-substituted by lower alkoxy.
- 48. The compound of claim 47 wherein  $R_{26}$  is a group of the formula:

- 49. The compound of claim 35 wherein R<sub>26</sub> is phenyl monosubstituted by chloro, tetrazolyl, which is unsubstituted or mono-substituted by methyl, or cyano.
- 50. The compound of claim 49 wherein  $R_{26}$  is a group of the formula:

51. The compound of claim 33 wherein  $R_{26}$  is tetrazolyl or cyano.

52. The compound of claim 14 wherein e is 2 whereby said compound is of the formula:

- 53. The compound of claim 52 wherein  $R_{26}$  is -NR<sub>28</sub>R<sub>29</sub> where R<sub>28</sub> and R<sub>29</sub> are as in claim 1.
- 54. The compound of claim 53 wherein R<sub>28</sub> and R<sub>29</sub> are independently hydrogen or lower alkyl.
- 55. The compound of claim 53 wherein R<sub>28</sub> is hydrogen and R<sub>29</sub> is lower alkoxycarbonyl, lower alkylsulfonyl, heterocycloalkyl, aroyl or heteroaroyl.
- 56. The compound of claim 53 wherein R<sub>28</sub> is lower alkyl and R<sub>29</sub> is lower akanoyl, lower alkoxycarbonyl or lower alkylaminocarbonyl.
- 57. The compound of claim 53 wherein R<sub>28</sub> and R<sub>29</sub> taken together with the nitrogen atom to which they are attached form a morpholinyl group.
- 58. The compound of claim 52 wherein R<sub>26</sub> is lower alkyl sulfonyl, lower alkyl thio, lower alkyl sulfinyl, lower alkylthio, azido, cyano, hydroxy, lower alkoxy, lower alkanoyl or lower alkanoylamino.

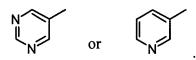
59. The compound of claim 14 wherein e is 3 whereby said compound is of the formula:

$$R_{26}$$

- 60. The compound of claim 59 wherein R<sub>26</sub> is lower alkyl sulfonyl, lower alkyl sulfinyl, lower alkylthio, azido, cyano, hydroxy, lower alkoxy, lower alkanoyl or lower alkanoylamino.
- 61. The compound of claim 14 wherein e is 4 whereby said compound is of the formula:

$$R_{26}$$

- 62. The compound of claim 61 wherein R<sub>26</sub> is lower alkyl sulfonyl, lower alkyl sulfinyl, lower alkylthio, azido, cyano, hydroxy, lower alkoxy, lower alkanoyl or lower alkanoylamino.
- 63. The compound of claim 62 wherein a is 0,  $R_1$  is hydrogen and  $R_{26}$  is lower alkyl sulfonyl.
- 64. The compound of claim 63 wherein Het is a 6-membered heteroaromatic ring of the formula:



65. The compound of claim 64 having the formula:

66. The compound of claim 64 having the formula:

67. The compound of claim 64 having the formula:

68. The compound of claim 63 wherein Het is a 5-membered heteroaromatic ring of the formula:

$$N$$
 or  $N$ 

69. The compound of claim 68 having the formula:

70. The compound of claim 68 having the formula:

71. The compound of claim 68 having the formula:

72. The compound of claim 63 wherein Het is a 9-membered heteraromatic ring of the formula:

73. The compound of claim 72 having the formula:

74. The compound of claim 3 wherein f is 1 whereby said compound is of the formula:

wherein  $R_{25}$  is lower alkyl or a group of the formula  $R_{26}$ —(CH<sub>2</sub>)<sub>e</sub>— wherein  $R_{26}$  and e are as in claim 1.

- 75. The compound of claim 74 wherein  $R_{25}$  is a group of the formula  $R_{26}$ —(CH<sub>2</sub>)<sub>e</sub>—.
- 76. The compound of claim 75 wherein e is 1 whereby said compound is of the formula:

- 77. The compound of claim 76 wherein R<sub>26</sub> is lower alkoxy or aryl.
- 78. The compound of claim 77 wherein R<sub>26</sub> is methoxy or phenyl which is unsubstituted, monosubstituted by halogen, lower alkoxy, cyano or tetrazolyl which tetrazolyl is unsubstituted or monosubstituted by methyl, or disubstituted by lower alkoxy.
- 79. The compound of claim 78 wherein R<sub>26</sub> is phenyl which is monosubstituted by tetrazolyl which terazolyl is monosubstituted by methyl.
- 80. The compound of claim 79 wherein  $R_{26}$  is of the formula:

$$H_3C$$
 $N$ 
 $N$ 
 $N$ 
 $N$ 
 $N$ 
 $N$ 
 $N$ 
 $N$ 

81. The compound of claim 3 wherein f is 3 and said compound is of the formula:

wherein R<sub>25</sub> and X are as in claim 1.

82. The compound of claim 81 wherein said compound is of the formula:

wherein  $R_{25}$  and X are as in claim 1.

- 83. The compound of claim 82 wherein  $R_{25}$  is lower alkyl.
- 84. The compound of claim 83 wherein X is a group of the formula:

85. The compound of claim 84 wherein a is 0.

86. The compound of claim 85 having the formula:

87. The compound of claim 81 wherein said compound is of the formula:

wherein  $R_{25}$  and X are as in claim 1.

- 88. The compound of claim 87 wherein  $\ensuremath{R_{25}}$  is lower alkyl.
- 89. The compound of claim 88 wherein  $\boldsymbol{X}$  is a group of the formula:

$$N \longrightarrow R_1$$
  
 $N \longrightarrow C$   
 $(CH_2)_a$ 

90. The compound of claim 89 wherein a is 0.

91. The compound of claim 90 having the formula:

- 92. The compound of claim 87 wherein  $R_{25}$  is a group of the formula  $R_{26}$ —(CH<sub>2</sub>)<sub>e</sub>— wherein  $R_{26}$  and e are as in claim 1.
- 93. The compound of claim 92 wherein e is 0 whereby said compound is of the formula:

- 94. The compound of claim 93 wherein  $R_{26}$  is lower alkoxy or aryl.
- 95. The compound of claim 94 wherein R<sub>26</sub> is methoxy, or is phenyl which is: 1) unsubstituted, 2) mono-substituted by halogen, lower alkoxy, cyano or tetrazolyl which tetrazolyl is unsubstituted or monosubstituted by methyl, or 3) disubstituted by lower alkoxy.
- 96. The compound of claim 95 wherein R<sub>26</sub> is phenyl which is unsubstituted or monosubstituted by lower alkoxy.

97. The compound of claim 96 wherein X is a group of the formula:

- 98. The compound of claim 97 wherein a is 0.
- 99. The compound of claim 98 having the formula:

100. The compound of claim 98 having the formula:

101. The compound of claim 92 wherein e is 1 whereby said compound is of the formula:

102. The compound of claim 101 wherein R<sub>26</sub> is lower alkoxy or aryl.

103. The compound of claim 102 wherein R<sub>26</sub> is methoxy, or is phenyl which is:

1) unsubstituted, 2) mono-substituted by halogen, lower alkoxy, cyano or tetrazolyl which tetrazolyl is unsubstituted or monosubstituted by methyl, or 3) disubstituted by lower alkoxy.

104. The compound of claim 103 wherein R<sub>26</sub> is phenyl which is unsubstituted or monosubstituted by lower alkoxy.

105. The compound of claim 1 having the formula:

wherein X,  $R_{22}$ ,  $R_{23}$  and  $R_{24}$  are as in claim 1.

106. The compound of claim 105 wherein  $R_{22}$  and  $R_{23}$  are independently unsubstituted phenyl or lower alkyl, and  $R_{24}$  is hydrogen or unsubstituted lower alkyl or alkenyl.

107. The compound of claim 106 wherein R<sub>22</sub>, R<sub>23</sub> and R<sub>24</sub> are lower alkyl.

108. The compound of claim 107 wherein X is a group of the formula:

- 109. The compound of claim 108 wherein a is 0.
- 110. The compound of claim 108 wherein  $R_{22}$  is methyl,  $R_{23}$  is ethyl and  $R_{24}$  is n-butyl.
- 111. The compound of claim 110 having the formula:

- 112. The compound of claim 106 wherein  $R_{22}$  is unsubstituted phenyl,  $R_{23}$  is lower alkyl and  $R_{24}$  is hydrogen.
- 113. The compound of claim 112 wherein X is a group of the formula:

114. The compound of claim 113 wherein a is 0.

115. The compound of claim 114 having the formula:

116. The compound of claim 114 having the formula:

- 117. The compound of claim 106 wherein  $R_{22}$  and  $R_{23}$  are unsubstituted phenyl and  $R_{24}$  is hydrogen.
- 118. The compound of claim 117 wherein X is a group of the formula:

$$N$$
 $R_1$ 
 $CH_2)_a$ 

119. The compound of claim 118 wherein a is 0.

120. The compound of claim 119 having the formula:

#### 121. The compound of claim 1 which is an ester of the formula:

wherein:

R<sub>31</sub> is lower alkyl; or

## R<sub>31</sub> a group of formula:

$$R_{32}$$
  
-(CH<sub>2</sub>)<sub>h</sub>-C-(CH<sub>2</sub>)<sub>g</sub>-N  
 $R_{34}$  **P-1**

wherein:

 $R_{32}$  is hydrogen or lower alkyl,  $R_{33}$  is hydrogen, lower alkyl, or aryl,  $R_{34}$  is hydrogen or lower alkyl, h is an integer from 0 to 2, g is an integer from 0 to 2, and the sum of h and g is 1 to 3; or

R<sub>31</sub> is a group of formula:

$$-(CH_2)_h$$
  $-(CH_2)_g$   $-(CH$ 

wherein:

R<sub>32</sub>, g, and h are as above for P-1,

T is O, S,  $-(CH_2)_j$ -, a group of the formula N-R<sub>35</sub>, or when j=0, a bond, R<sub>35</sub> is hydrogen, lower alkyl, lower alkanoyl, or lower alkoxycarbonyl, and j is 0, 1 or 2

and wherein X, X' Y and Z are as in claim 1.

122. The compound of claim 121 having the formula:

wherein Q'' is unsubstituted or lower alkyl substituted - $(CH_2)_{f}$ -, f is 1, 2 or 3, and X and  $R_{25}$  are as in claim 1.

123. The compound of claim 122 having the formula:

wherein X, R<sub>26</sub> and e are as in claim 1.

124. The compound of claim 123 wherein e is 4 whereby said compound is of the formula:

125. The compound of claim 124 wherein R<sub>26</sub> is lower alkyl sulfonyl, lower alkyl thio, lower alkyl sulfinyl, lower alkylthio, azido, cyano, hydroxy, lower alkoxy, lower alkanoyl or lower alkanoylamino.

126. The compound of claim 125 wherein a is 0, R<sub>1</sub> is hydrogen, R<sub>26</sub> is lower alkyl sulfonyl or lower alkoxy and Het is pyridyl.

127. The compound of claim 126 wherein R<sub>31</sub> is ethyl, 2-(N,N-diethylamino)ethyl or 2-(4-morpholinyl)ethyl.

128. The compound of claim 127 having the formula:

129. The compound of claim 127 having the formula:

130. The compound of claim 1 wherein Z is lower alkyl.

## 131. The compound of claim 130 having the formula:

wherein Q''' is unsubstituted or lower alkyl substituted -(CH<sub>2</sub>) $_f$ -, f is 1, 2 or 3, and X and R<sub>25</sub> are as in claim 1.

## 132. The compound of claim 131 having the formula: